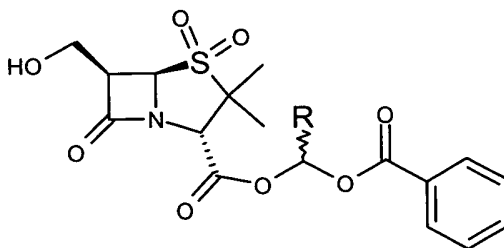


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Claims

We claim:

1. A prodrug having the structure:



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wherein R is H or methyl,
and solvates thereof.

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2. A prodrug of Claim 1 selected from the group consisting of:

4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-(hydroxymethyl)-3,3-dimethyl-7-oxo-, -1-(benzoyloxy)-methyl ester, 4,4-dioxide

20

(2S, 5R, 6R),

4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-(hydroxymethyl)-3,3-dimethyl-7-oxo-, -1-(benzoyloxy)-ethyl ester, 4,4-dioxide (2S, 5R, 6R),

25

4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-(hydroxymethyl)-3,3-dimethyl-7-oxo-, (1R)-1-(benzoyloxy)ethyl ester, 4,4-dioxide

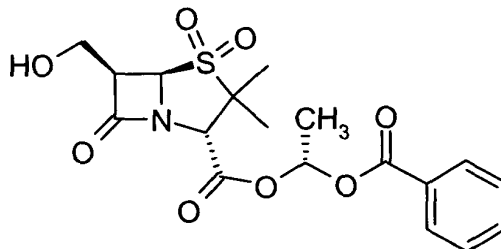
(2S, 5R, 6R), and

4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-(hydroxymethyl)-3,3-dimethyl-7-oxo-, (1S)-1-(benzoyloxy)ethyl ester, 4,4-dioxide

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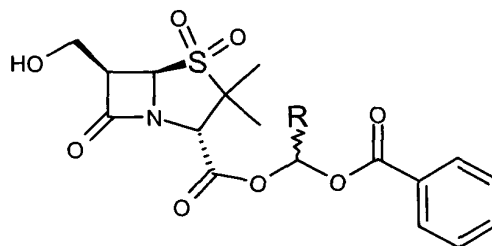
(2S, 5R, 6R).

- 5 3. A prodrug having the structure:



and solvates thereof.

- 10 4. A pharmaceutical composition comprising:
(a) a prodrug having the structure:

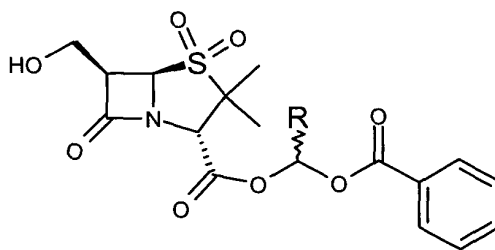


- 15 wherein R is H or methyl,
 or a solvate thereof; and
(b) a pharmaceutically acceptable excipient.

5. A pharmaceutical composition of Claim 4 further
20 comprising a beta-lactam antibiotic.
6. A pharmaceutical composition of Claim 5 wherein
said beta-lactam antibiotic is amoxicillin.
- 25 7. A pharmaceutical composition of Claim 4 wherein
said prodrug is 4-thia-1-azabicyclo[3.2.0]heptane-

5 2-carboxylic acid, 6-(hydroxymethyl)-3,3-dimethyl-
7-oxo-, (1R)-1-(benzoyloxy)ethyl ester, 4,4-dioxide
(2S,5R,6R) or a solvate thereof.

8. A pharmaceutical composition comprising:
- 10 (a) 4-thia-1-azabicyclo [3.2.0] heptane-2-
 carboxylic acid, 6-(hydroxymethyl)-3,3-
 dimethyl-7-oxo-, (1R)-1-(benzoyloxy)ethyl
 ester, 4,4-dioxide (2S,5R,6R), or
 a solvate thereof,
- 15 (b) amoxicillin, and
- (c) a pharmaceutically acceptable excipient.
9. A method for increasing the therapeutic
effectiveness of a beta-lactam antibiotic in a
20 mammal comprising administering to said mammal an
effective amount of a beta-lactam antibiotic and an
effectiveness-increasing amount of a prodrug having
the structure:

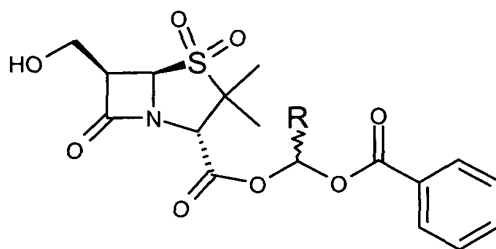


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wherein R is H or methyl, or a solvate thereof.

10. A method of Claim 9 wherein said beta-lactam
30 antibiotic is amoxicillin.

- 5 11. A method of Claim 9 wherein said prodrug is
4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic
acid, 6-(hydroxymethyl)-3,3-dimethyl-7-oxo-, (1R)-
1-(benzoyloxy)ethyl ester, 4,4-dioxide (2S,5R,6R)
or a solvate thereof.
- 10 12. A method of Claim 10 wherein said prodrug is
4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic
acid, 6-(hydroxymethyl)-3,3-dimethyl-7-oxo-, (1R)-
1-(benzoyloxy)ethyl ester, 4,4-dioxide (2S,5R,6R)
15 or a solvate thereof.
13. A method of treating a bacterial infection in a
mammal by administering to said mammal an effective
amount of a beta-lactam antibiotic and an
20 effectiveness-increasing amount of a prodrug of
having the structure:



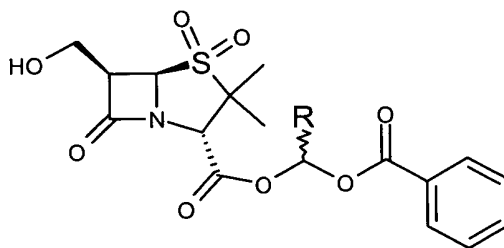
- 25 wherein R is H or methyl, or a solvate thereof.
14. A method of Claim 13 wherein said beta-lactam
antibiotic is amoxicillin.
- 30 15. A method of Claim 14 wherein the prodrug is
4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic

5 acid, 6-(hydroxymethyl)-3,3-dimethyl-7-oxo-, (1R)-1-(benzoyloxy)ethyl ester, 4,4-dioxide (2S,5R,6R), or a solvate thereof.

16. A method of Claim 15 wherein the prodrug is
10 4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-(hydroxymethyl)-3,3-dimethyl-7-oxo-, (1R)-1-(benzoyloxy)ethyl ester, 4,4-dioxide (2S,5R,6R), or a solvate thereof.

15 17. A method of treating a bacterial infection in a mammal by administering, to a mammal in need thereof, a therapeutically effective amount of a pharmaceutical composition comprising:
(a) a prodrug having the structure:

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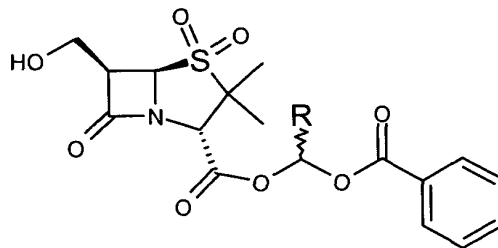


wherein R is H or methyl, or a solvate thereof; and

25 (b) a pharmaceutically acceptable excipient.

- 5 18. A method of treating a bacterial infection in a mammal by administering, to a mammal in need thereof, a therapeutically effective amount of a pharmaceutical composition comprising:
- (a) a prodrug having the structure:

10



- wherein R is H or methyl, or a solvate thereof;
- 15 (b) amoxicillin; and
- (c) a pharmaceutically acceptable excipient.
19. A method of treating a bacterial infection in a mammal by administering, to a mammal in need thereof, a therapeutically effective amount of a pharmaceutical composition comprising:
- 20 (a) 4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-(hydroxymethyl)-3,3-dimethyl-7-oxo-, (1R)-1-(benzoyloxy)ethyl ester, 4,4-dioxide (2S,5R,6R), or a solvate thereof; and
- 25 (b) a pharmaceutically acceptable excipient.
20. A method of treating a bacterial infection in a mammal by administering, to a mammal in need thereof, a therapeutically effective amount of a
- 30

- 5 pharmaceutical composition comprising:
- (a) 4-thia-1-azabicyclo [3.2.0] heptane-2-carboxylic acid, 6-(hydroxymethyl)-3,3-dimethyl-7-oxo-, (1R)-1-(benzoyloxy)ethyl ester, 4,4-dioxide (2S,5R,6R), or
- 10 a solvate thereof,
- (b) amoxicillin, and
- (c) a pharmaceutically acceptable excipient.